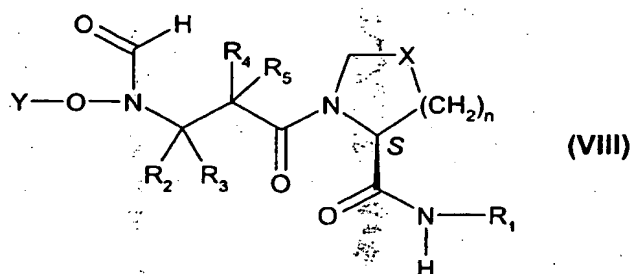


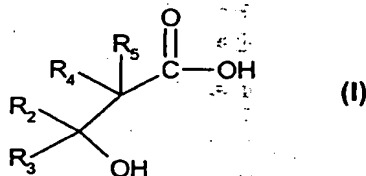
WHAT IS CLAIMED IS:

1. A process for preparing a compound of the formula (VIII)

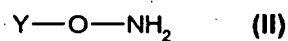


comprising step A:

contacting a compound of the formula (I)

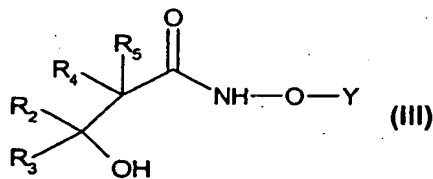


with a compound of the formula (II)



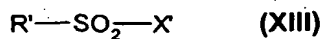
in the presence of a carboxy activating agent, in a suitable solvent

under conditions suitable to form a compound of the formula (III)

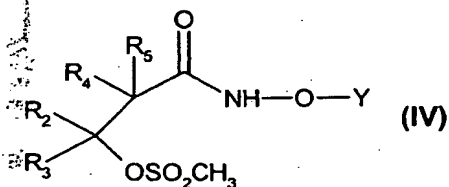


followed by step B:

contacting compound (III) with a compound of the formula (XIII)

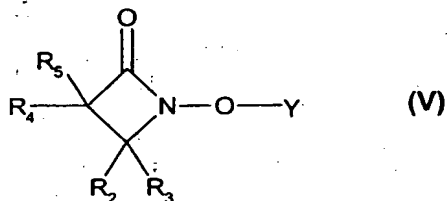


in the presence of a base in a suitable solvent, under conditions suitable to form a compound of the formula (IV)



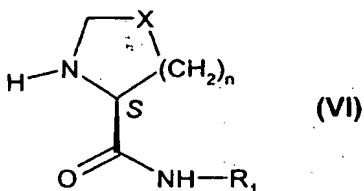
followed by Step C:

contacting compound (IV) with a base in a suitable solvent under conditions suitable to form a compound of the formula (V)

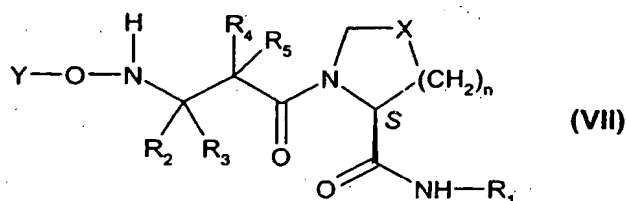


followed by Step D:

contacting compound (V) with a compound of the formula (VI)



in a suitable solvent optionally in the presence of an activator under conditions suitable to form a compound of the formula (VII)



followed by Step E:

contacting compound (VII) with a formylating agent in a suitable solvent under conditions suitable to form compound (VIII);

wherein

Y is a hydroxy protecting group;

Each of R₂, R₃, R₄ and R₅, independently, is hydrogen or an aliphatic group, or (R₂ and R₃) and/or (R₄ and R₅) collectively form a C₄₋₇cycloalkyl;

X is $-\text{CH}_2-$, $-\text{S}-$, $-\text{CH}(\text{OH})-$, $-\text{CH}(\text{OR})-$, $-\text{CH}(\text{SH})-$, $-\text{CH}(\text{SR})-$, $-\text{CF}_2-$, $-\text{C}=\text{N}(\text{OR})-$ or $-\text{CH}(\text{F})-$;

wherein

R is alkyl;

G is -OH or -O[⊖]M[⊕], wherein M is a metal or an ammonium moiety;

R₁ is aryl or heteroaryl;

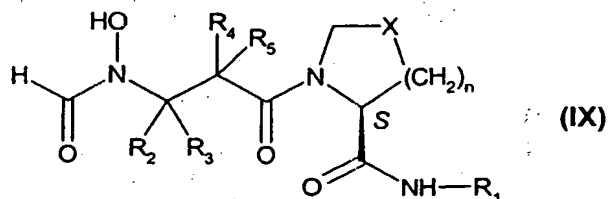
X' is halo;

R' is alkyl or aryl; and

n is 0 to 3, provided that when n is 0, X is -CH₂-.

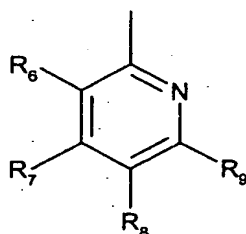
2. The process of Claim 1, followed by additional Step F which comprises contacting the compound of formula (VIII), wherein R₁ is heteroaryl having an *N* heteroatom, with an oxidizing agent to form the corresponding *N*-oxide derivative.

3. The process of Claim 1, followed by the additional step of removing the hydroxyl-protecting group by contacting compound (VIII) with a palladium catalyst to form the compound of formula (IX)



wherein R_1 , R_2 , R_3 , R_4 , R_5 , X and n are as defined above.

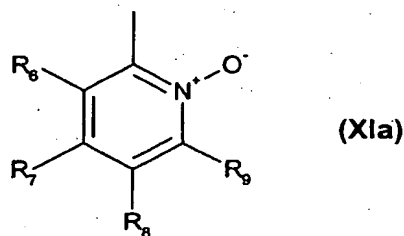
4. The process of Claim 1, wherein each of R_2 , R_3 and R_5 is hydrogen; R_4 is butyl; X is $-CH_2-$; n is 1; Y is benzyl or *t*-butyldimethylsilyl; and R_1 is of the formula



wherein

- R_6 and R_9 are hydrogen;
- R_7 is hydrogen or C_{1-7} alkyl; and
- R_8 is hydrogen, halogen or C_{1-7} alkyl.

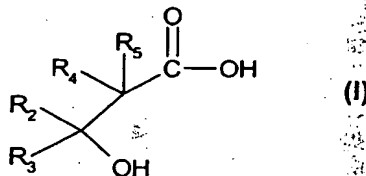
5. The process of Claim 2, wherein R_7 is hydrogen; and R_8 is fluoro.
6. The process of Claim 2, wherein R_7 is C_{1-7} alkyl; and R_8 is hydrogen.
7. The process of Claim 1, wherein R_1 is of the formula (XIa)



wherein

- R_6 , R_7 and R_9 are hydrogen; and
- R_8 is halogen or C_{1-7} alkyl.

8. The process of Claim 7, wherein R_5 is fluoro.
9. The process of Claim 1, carried out at a temperature of about 0°C to about 80°C, a pH of about 2 to about 12, and in one or more solvents selected from the group consisting of dioxane, methylene chloride, dichloromethane, toluene, acetone, methyl ethyl ketone, THF, isopropyl acetate, DMF and an alcohol.
10. A process comprising contacting a compound of the formula (I)

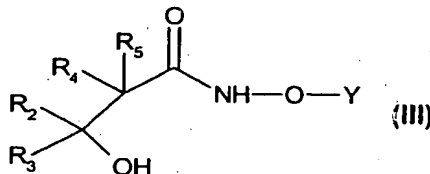


with a compound of the formula (II)



in the presence of a carboxy activating agent, in a suitable solvent

under conditions suitable to form a compound of the formula (III)



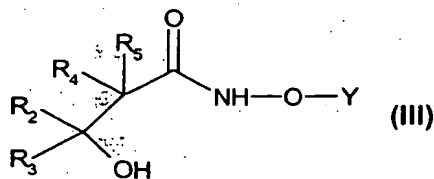
wherein

each of R_2 , R_3 , R_4 and R_5 , independently, is hydrogen or alkyl, or (R_2 and R_3) and/or (R_4 and R_5) collectively form a C_{4-7} cycloalkyl; and

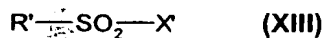
Y is a hydroxy-protecting group.

11. The process of Claim 10, wherein R_2 , R_3 and R_5 are hydrogen; R_4 is *n*-butyl; and Y is benzyl or *t*-butyldimethylsilyl.
12. The process of Claim 10 carried out at a temperature of about 5°C to about 35°C for about 2 hours to about 5 hours, at a pH of about 3 to about 5, wherein the carboxy-activating agent is DCC, CDMT or EDCI and the solvent is THF/water.

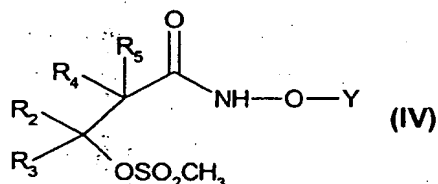
13. A process comprising contacting a compound of the formula (III)



with a compound of the formula (XIII):



in the presence of a base in a suitable solvent, under conditions suitable to form a compound of the formula (IV)



wherein

each of R_2 , R_3 , R_4 and R_5 , independently, is hydrogen or alkyl, or (R_2 and R_3) and/or (R_4 and R_5) collectively form a C_{4-7} cycloalkyl;

Y is a hydroxy-protecting group;

X' is halo; and

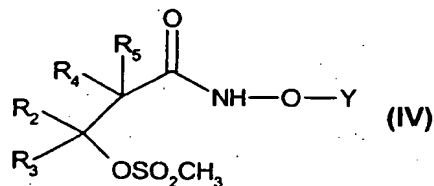
R' is alkyl or aryl.

14. The process of Claim 13, wherein each of R_2 , R_3 and R_5 are hydrogen; R_4 is C_{1-7} alkyl; X' is chloro; R' is methyl or phenyl or tolyl; and Y is benzyl or *t*-butyldimethylsilyl.

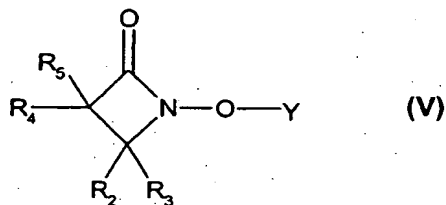
15. The process of Claim 10, wherein R_4 is *n*-butyl; and R' is methyl.

16. The process of Claim 10 carried out at a temperature of about -5°C to about 5°C for about 2 hours to about 5 hours at a pH of about 9 to about 10, wherein the base is pyridine, DMAP, a trialkylamine, a resin-bound bases or a Hunig bases, and the solvent is pyridine, THF or EtOAc.

17. A process comprising contacting a compound of the formula (IV)



- with a base in a suitable solvent under conditions suitable to form a compound of the formula (V)



wherein

each of R_2 , R_3 , R_4 and R_5 , independently, is hydrogen or alkyl, or (R_2 and R_3) and/or (R_4 and R_5) collectively form a C_{4-7} cycloalkyl; and

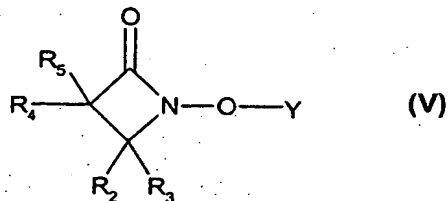
Y is a hydroxy-protecting group.

18. The process of Claim 17, wherein each of R_2 , R_3 and R_5 are hydrogen; R_4 is C_{1-7} alkyl; X' is chloro; R' is methyl or phenyl; and Y is benzyl or *t*-butyldimethylsilyl.

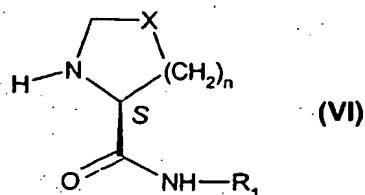
19. The process of Claim 17 wherein R_4 is *n*-butyl; and R' is methyl.

20. The process of Claim 17 carried out at a temperature of about 40°C to about 80°C for about 2 hours to about 4 hours at a pH of about 8 to about 12, wherein the base is potassium carbonate, lithium carbonate, sodium carbonate, lithium bicarbonate, sodium bicarbonate or an alkyl lithium, and the solvent is acetone or methylethylketone.

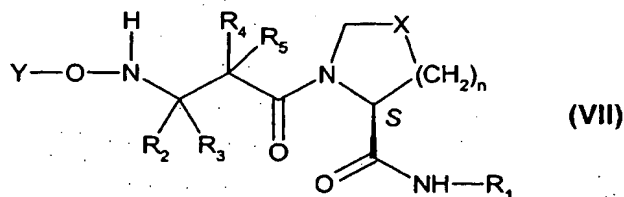
21. A process comprising contacting a compound of the formula (V)



with a compound of the formula (VI)



in a suitable solvent optionally in the presence of an activator under conditions suitable to form a compound of the formula (VII)



wherein

each of R_2 , R_3 , R_4 and R_5 , independently, is hydrogen or alkyl, or (R_2 and R_3) and/or (R_4 and R_5) collectively form a C_{4-7} cycloalkyl;

Y is a hydroxy-protecting group;

X is $-CH_2-$, $-S-$, $-CH(OH)-$, $-CH(OR)-$, $-CH(SH)-$, $-CH(SR)-$, $-CF_2-$, $-C=N(OR)-$ or $-CH(F)-$;

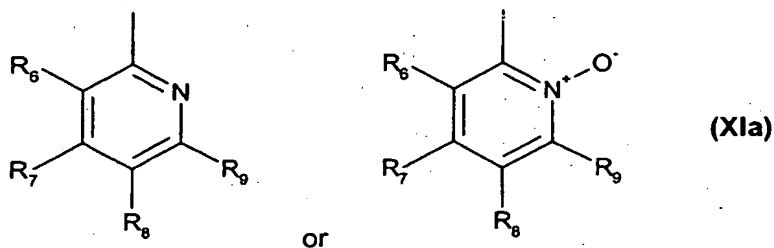
wherein

R is alkyl;

R_1 is aryl or heteroaryl; and

n is 0 to 3, provided that when n is 0, X is $-CH_2-$.

22. The process of Claim 21, wherein each of R_2 , R_3 and R_5 are hydrogen; R_4 is C_{1-7} alkyl; X is $-CH_2-$; Y is benzyl or *t*-butyldimethylsilyl; and R_1 is a moiety of the formula (XIa)



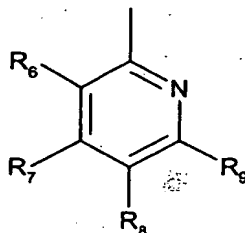
wherein

R_6 and R_9 are hydrogen;

R_7 is hydrogen or C_{1-7} alkyl; and

R_8 is hydrogen, halogen or C_{1-7} alkyl.

23. The process of Claim 22, wherein R_4 is *n*-butyl; and R_1 is a moiety of the formula



wherein

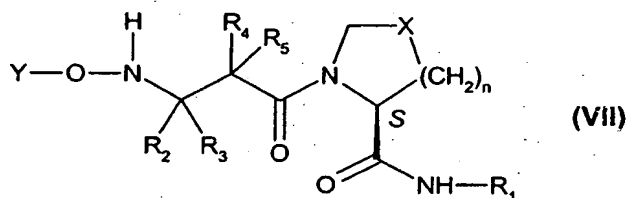
R_7 is hydrogen; and

R_8 is fluoro.

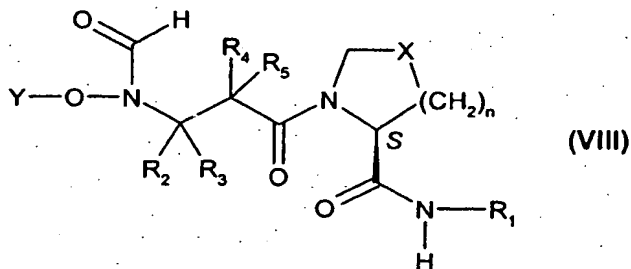
24. The process of Claim 21 carried out at a temperature is of about 60°C to about 80°C for about 5 hours to about 10 hours at a pH of about 5 to about 11, wherein the activator is 2-ethylhexanoic acid, acetic acid or isobutyric acid and the solvent is THF, dioxane or dimethoxyethane.

25. The process of Claim 24 carried out in the absence of an activator and wherein the solvent is $MeOH \cdot H_2O$ or $EtOH \cdot H_2O$.

26. A process comprising contacting a compound of the formula (VII)



with a formylating agent in a suitable solvent under conditions suitable to form a compound of the formula (VIII)



wherein

each of R_2 , R_3 , R_4 and R_5 , independently, is hydrogen or alkyl, or (R_2 and R_3) and/or (R_4 and R_5) collectively form a C_{4-7} cycloalkyl;

Y is a hydroxy-protecting group;

X is $-CH_2-$, $-S-$, $-CH(OH)-$, $-CH(OR)-$, $-CH(SH)-$, $-CH(SR)-$, $-CF_2-$, $-C=N(OR)-$ or $-CH(F)-$;

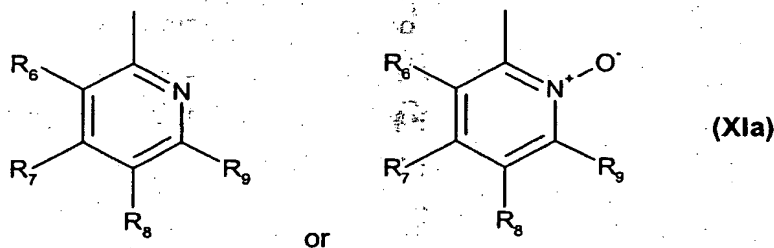
wherein

R is alkyl;

R_1 is aryl or heteroaryl; and

n is 0 to 3, provided that when n is 0, X is $-CH_2-$.

27. The process of Claim 26, wherein each of R_2 , R_3 and R_5 are hydrogen; R_4 is C_{1-7} alkyl; X is $-CH_2-$; Y is benzyl or *t*-butyldimethylsilyl; and R_1 is a moiety of the formula (XIa)



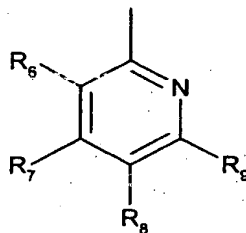
wherein

R_6 and R_9 are hydrogen;

R_7 is hydrogen or C_{1-7} alkyl; and

R_8 is hydrogen, halogen or C_{1-7} alkyl.

28. The process of Claim 26 wherein R_4 is *n*-butyl; and R_1 is a moiety of the formula



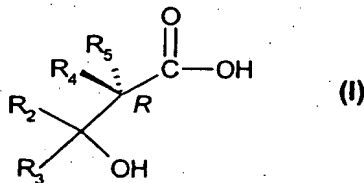
wherein

R_7 is hydrogen; and

R_8 is fluoro.

29. The process of Claim 26 carried out at a temperature of about 0°C to about 25°C for about 20 minutes to about 1 hour, wherein the formylating agent is HCO₂H/Ac₂O or trifluoroethylformate, and the solvent is EtOAc, isopropylacetate, *t*-butylacetate or THF.

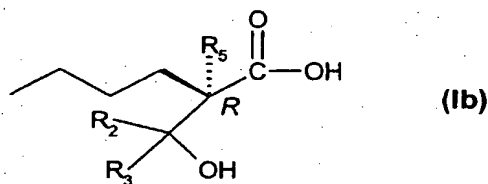
30. A compound of the formula (I)



wherein each of R₂, R₃, R₄ and R₅, independently, is hydrogen or alkyl, or (R₂ and R₃) collectively form a C₄₋₇cycloalkyl, provided that when either R₄ or R₅ is hydrogen, the other substituent, i.e., R₄ or R₅, is not hydrogen or methyl.

31. The compound of Claim 30, wherein R₅ is hydrogen; and R₄ is C₂₋₇alkyl.

32. The compound of Claim 30 having the formula (Ib)

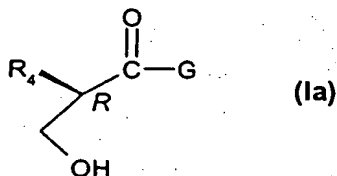


wherein

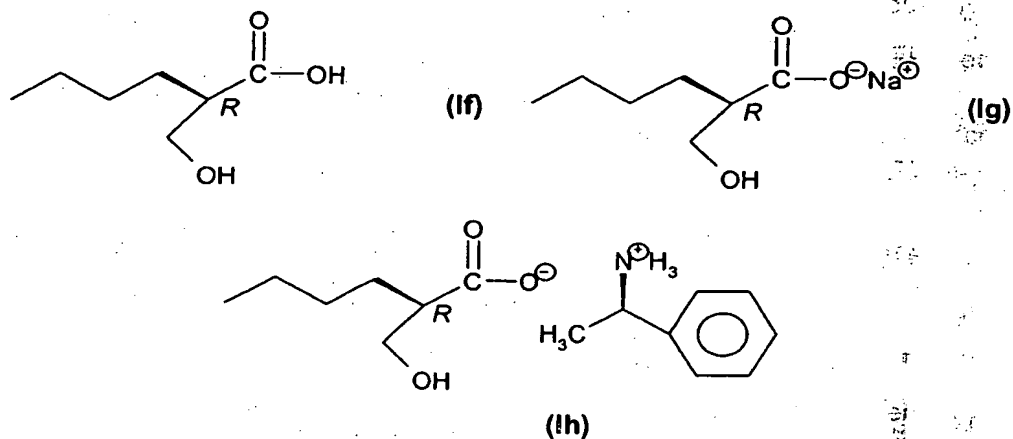
G is -OH or -O[⊖]M[⊕], wherein M is a metal or an ammonium moiety; and

each of R₂, R₃ and R₅, independently, is hydrogen or alkyl, or (R₂ and R₃) collectively form a C₄₋₇cycloalkyl, provided that R₅ is not *n*-butyl.

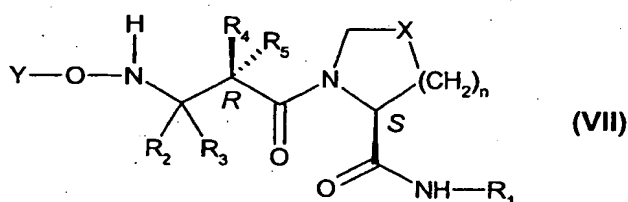
33. The compound of Claim 30 having the formula (Ia)



34. A compound selected from the group consisting of formulae (If)-(Ih)



35. A compound having the formula (VII)



wherein

each of R₂, R₃, R₄ and R₅, independently, is hydrogen or alkyl, or (R₂ and R₃) can collectively form a C₄₋₇cycloalkyl;

Y is a hydroxy-protecting group;

X is -CH₂-, -S-, -CH(OH)-, -CH(OR)-, -CH(SH)-, -CH(SR)-, -CF₂-, -C=N(OR)- or -CH(F)-;

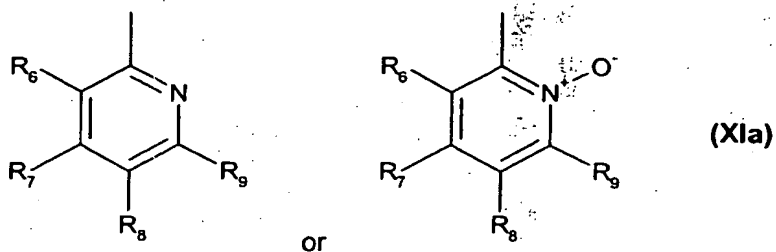
wherein

R is alkyl;

R₁ is aryl or heteroaryl; and

n is 0 to 3, provided that when n is 0, X is -CH₂-, and that R₄ and R₅ are different.

36. The compound of Claim 35, wherein each of R_2 , R_3 and R_5 are hydrogen; R_4 is C_{1-7} alkyl; X is $-CH_2-$; Y is benzyl or *t*-butyldimethylsilyl; and R_1 is a moiety of the formula (Xla)



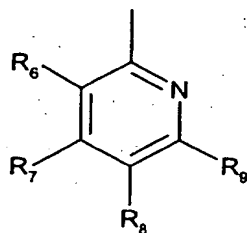
wherein

R_6 and R_9 are hydrogen;

R_7 is hydrogen or C_{1-7} alkyl; and

R_8 is hydrogen, halogen or C_{1-7} alkyl.

37. The compound of Claim 36, wherein R_4 is *n*-butyl; and R_1 is a moiety of the formula



wherein

R_7 is hydrogen; and

R_8 is fluoro.

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